

(FILE 'HOME' ENTERED AT 06:46:05 ON 16 DEC 1999)

FILE 'CAPLUS, MEDLINE, BIOSIS, SCISEARCH, EMBASE, EUROPATFULL,  
USPATFULL'

ENTERED AT 06:46:52 ON 16 DEC 1999

ACTIVATE L09400343/L

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L1 ( 14793)SEA PACLITAXEL  
L2 ( 15348)SEA POVIDONE  
L3 ( 22993)SEA (OLEIC ACID MONOESTER) OR (STEARIC ACID MONOESTER) OR (RICI  
L4 ( 56706)SEA (OXYETHYLENE SORBITOL OLEATE) OR (OLEATE)  
L5 ( 491680)SEA (POLYETHYLENE GLYCOL) OR (GLYCOL)  
L6 ( 746722)SEA (ANHYDROUS ALCOHOL) OR ALCOHOL  
L7 ( 6775)SEA TETRAOLEATE OR TRIOLEATE  
L8 ( 0)SEA L1 AND L2 AND L3 AND L4 AND L5 AND L6  
L9 ( 0)SEA (TAXAN? OR TAXOL?) AND L2 AND L3 AND L4 AND L5 AND L6  
L10 ( 27)SEA (TAXAN? OR TAXOL? OR ?TAXEL) AND L2  
L11 ( 0)SEA L10 AND (L3)  
L12 ( 13)SEA L10 AND L4  
L13 ( 22)SEA L10 AND L5  
L14 ( 25)SEA L6 AND L10  
L15 ( 15)SEA L10 AND (MONOESTER OR ?ESTER)  
L16 ( 12)SEA L15 AND L12  
L17 ( 12)SEA L16 AND L13  
L18 ( 12)SEA L17 AND L14  
L19 ( 12)DUP REM L18 (0 DUPLICATES REMOVED)  
L20 ( 2)SEA L10/CLM  
L21 ( 37664)SEA ?TAXOL? OR ?TAXEL? OR TAXANE  
L22 ( 356)SEA (?TAXOL? OR ?TAXEL? OR TAXANE)/CLM  
L23 ( 103)SEA L22 AND L5  
L24 ( 5)SEA L23 AND L2  
L25 ( 0)SEA L24 AND L3  
L26 ( 5)SEA L24 AND L5  
L27 ( 5)SEA L24 AND L6  
L28 ( 0)SEA L24 AND L7  
L29 ( 1)SEA (?TAXEL)/CLM  
L30 ( 81)SEA L1/CLM  
L31 ( 16)SEA L30 AND (L2/CLM OR L3/CLM OR L4/CLM OR L5/CLM OR L6/C  
-----  
L32 3 S L21 (30A) ( (OLEIC POLYESTER) OR OLEATE OR (OLEIC ACID))  
L33 0 S L32 AND L5  
L34 1 S L32 AND ( FATTY ACID)  
L35 170994 S OLEATE OR STEARATE OR RICINOLEATE  
L36 15 S L21 (100A) L35  
L37 8 S L21 (100A) ( (OLEIC POLYESTER) OR OLEATE OR (OLEIC ACID))  
L38 5 S (L36 OR L37) AND L22

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L36 15 S L21 (100A) L35  
L37 8 S L21 (100A) ( (OLEIC POLYESTER) OR OLEATE OR (OLEIC ACID))  
L38 5 S (L36 OR L37) AND L22  
SAVE L32-38 L19400343/L  
L39 4 S L38 AND L23  
L40 0 S L38 AND L15  
L41 68 S L2 AND L21  
L42 0 S L41 AND L32  
L43 25 S L41 AND L35  
L44 3 S L43 AND L22  
L45 0 S L44 AND (MONOESTER OR (MONO ESTER))

ACTIVATE L09400343/L

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L36 15 S L21 (100A) L35
L37 8 S L21 (100A) ( (OLEIC POLYESTER) OR OLEATE OR (OLEIC ACID))
L38 5 S (L36 OR L37) AND L22
      SAVE L32-38 L19400343/L
L39 4 S L38 AND L23
L40

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- CLMEN 1. A stabiliser formulation for use in combination with, and as a vehicle for, **paclitaxel** to form a pharmaceutical for use in treating cancer said formulation comprising polyethoxylated castor oil and an acidifying agent.
4. A formulation as claimed in claim 1 and comprising:-  
(i) polyethoxylated castor oil as a solubilizer for **paclitaxel**,  
(ii) citric acid as an acidifying agent, and  
(iii) optionally ethanol
5. A composition comprising:-  
**paclitaxel**;  
castor oil; and  
anhydrous citric acid in sufficient amounts to adjust the pH of the composition to less. . . .
6. A pharmaceutical composition suitable for use in treating cancer and comprising **paclitaxel**, polyethoxylated castor oil and an acidifying agent, the components of the composition being mixed in such proportion that said composition. . . .
8. A pharmaceutical composition as claimed in claim 6 or claim 7 and including ethanol or other **alcohol** as a constituent thereof.
13. A pharmaceutical composition as claimed in claim 6 and comprising:-  
(i) **paclitaxel**;  
(ii) polyethoxylated castor oil as a solubilizer for the **paclitaxel**;  
(iii) citric acid as an acidifying agent present in such an amount that the composition as a whole has. . . .
14. Use of an acidifying agent as a stabilizer for **paclitaxel** in a pharmaceutical composition in which said **paclitaxel** is carried by polyethoxylated castor oil, said acidifying agent being employed in such use in such proportion that said composition. . . .
18. Use as claimed in claim 14 wherein the acidified stabilized pharmaceutical composition comprises:-  
(i) **paclitaxel**;  
(ii) polyethoxylated castor oil as a solubilizer for **paclitaxel**;  
(iii) citric acid as the acidifying agent, said acidifying agent being present in such an amount that the acidified. . . .
19. Use of an acidifying agent for the manufacture of a medicament which comprises **paclitaxel** and polyethoxylated castor oil as well as said acidifying agent, which medicament has a pH less than 8.1 and which. . . .
20. . . . medicament for use in the treatment of cancer, said medicament being in the form of a composition comprising citric acid, **paclitaxel** and polyethoxylated castor oil and having a pH of less than 8.1.
21. . . . comprising:-  
(i) mixing an acid material with a carrier material to form a carrier solution; and  
(ii) mixing **paclitaxel** with the carrier solution to form a **paclitaxel** solution having a pH of less than 8.1 whereby the **paclitaxel** in the **paclitaxel** solution does not readily degrade.
22. A method as claimed in claim 21 wherein said **paclitaxel** solution has a pH of from 5 to 7.
26. A method as claimed in any one of claims 21 to 25 and including the step of slurring said **paclitaxel** in ethanol before mixing

(iii) citric acid as the acidifying agent, said acidifying agent being present in such an amount that acidified stabilized. . .  
14. Use of an acidifying agent for the manufacture of a medicament

which

comprises **paclitaxel** and polyethoxylated castor oil as well as said acidifying agent, which medicament has a pH less than 8.1 and which. . .

15. Use of citric acid, **paclitaxel** and polyethoxylated castor oil for the manufacture of a medicament for use in the treatment of cancer, said medicament being in the form of a composition comprising citric acid, **paclitaxel** and polyethoxylated castor oil and having a pH of less than 8.1.

16. . . . comprising:-

(i) mixing an acid material with a carrier material to form a carrier solution; and

(ii) mixing **paclitaxel** with the carrier solution to form a **paclitaxel** solution having a pH of less than 8.1 whereby the **paclitaxel** in the **paclitaxel** solution does not readily degrade.

17. A method as claimed in Claim 16 wherein said **paclitaxel** solution has a pH of from 5 to 7.

21. A method as claimed in any one of Claims 16 to 20 and including the step of slurrying said **paclitaxel** in ethanol before mixing said **paclitaxel** with the carrier solution.

CLMDE  
der

1. Eine pharmazeutische Zusammensetzung, geeignet zur Verwendung bei

Behandlung von Krebs und umfassend **Paclitaxel** (Taxol), polyethoxyliertes Castoroel und ein Saeuerungsmittel, wobei die Komponenten der Zusammensetzung in solchen Mengen vermischt werden,

dass

die Zusammensetzung einen. . .

8. Pharmazeutische Zusammensetzung, wie in Anspruch 1 beansprucht und umfassend:

(i) **Paclitaxel**,

(ii) polyethoxyliertes Castoroel als ein Loesungsvermittler fuer

das

**Paclitaxel**,

(iii) Zitronensaure als ein Saeuerungsmittel, vorhanden in einer Menge, so dass die Zusammensetzung als ganzes einen pH-Wert von 1. .

9. Die Verwendung eines Saeuerungsmittels als ein Stabilisator fuer **Paclitaxel** in einer pharmazeutischen Zusammensetzung, in der das

**Paclitaxel** umgesetzt wird durch polyethoxyliertes Castoroel, wobei das Saeuerungsmittel derart und in solchen Teilen verwendet wird, dass die Zusammensetzung einen resultierenden. . .

13. Verwendung wie in Anspruch 9 beansprucht, wobei die angesaeuerte stabilisierte pharmazeutische Zusammensetzung umfasst:

(i) **Paclitaxel**,

(ii) polyethoxyliertes Castoroel als ein Loesungsvermittler fuer

das

**Paclitaxel**,

(iii) Zitronensaure als das Saeuerungsmittel, wobei das Saeuerungsmittel in einer Menge vorhanden ist, so dass die angesaeuerte stabilisierte pharmazeutische. . .

15. Verwendung von Zitronensaure, **Paclitaxel** und polyethoxyliertem Castoroel zur Herstellung eines Medikaments zur Verwendung bei der Behandlung von Krebs, wobei das Medikament in der Form einer Zusammensetzung ist, umfassend Zitronensaure, **Paclitaxel** und polyethoxyliertes Castoroel und einen pH-Wert von weniger als 8,1 hat.

16. . . . Verfahren umfasst:

(i) Mischen eines sauren Materials mit einem Traegermaterial, zur Bildung einer Traegerloesung und

(ii) Mischen von **Paclitaxel** mit der Traegerloesung, um eine Paclitaxelloesung mit einem pH-Wert von weniger als 8,1 zu bilden,

wobei das **Paclitaxel** in der Paclitaxelloesung nicht ohne weiteres degeneriert.

21. Verfahren, wie in einem der Ansprueche 16 bis 20 beansprucht und

den

Schritt des Aufschlaemmens des **Paclitaxel** in Ethanol vor dem Beimischen des **Paclitaxel** zur Traegerloesung einschliesst.

CLMFR 1. Composition pharmaceutique appropriee pour une utilisation dans le traitement du cancer et comprenant du **paclitaxel** (taxol), de l'huile de ricin polyethoxyle et un agent acidifiant, les constituants de la composition etant melanges en une proportion. . .

8. Composition pharmaceutique selon la revendication 1, comprenant :

(i) du **paclitaxel**,

(ii) de l'huile de ricin polyethoxyle en tant qu'agent solubilisant pour le **paclitaxel**,

(iii) de l'acide citrique en tant qu'agent acidifiant en une quantite telle que la composition presente dans son ensemble. . .

9. Utilisation d'un agent acidifiant en tant qu'agent de stabilisation pour le **paclitaxel** dans une composition pharmaceutique dans laquelle ledit **paclitaxel** est vehicule par de l'huile de ricin polyethoxyle, ledit agent acidifiant etant employe en une quantite

telle

que ladite composition. . .

13. Utilisation selon la revendication 9, dans laquelle la composition pharmaceutique stabilisee acidifiee comprend :

(i) du **paclitaxel**,

(ii) de l'huile de ricin polyethoxyle en tant qu'agent solubilisant pour le **paclitaxel**,

(iii) de l'acide citrique en tant qu'agent acidifiant, ledit agent acidifiant etant present en une quantite telle que la. . .

14. Utilisation d'un agent acidifiant pour la fabrication d'un medicament comprenant du **paclitaxel** et de l'huile de ricin polyethoxyle ainsi qu'un agent acidifiant, ledit medicament presentant un pH inferieur a 8,1 et etant. . .

15. Utilisation d'acide citrique, de **paclitaxel** et d'huile de ricin polyethoxyle pour la fabrication d'un medicament destine au traitement du cancer, ledit medicament se presentant sous la forme

d'une

composition comprenant de l'acide citrique, du **paclitaxel** et de l'huile de ricin polyethoxyle et presentant un pH inferieur a 8,1.

16. . . . le melange d'un materiau acide avec un vehicule pour

former

une solution de vehicule; et

(ii) le melange du **paclitaxel** avec la solution de vehicule de facon a former un solution de **paclitaxel** presentant un pH inferieur a 8,1, ce par quoi le **paclitaxel** dans la solution de **paclitaxel** ne se decompose pas rapidement.

17. Procede selon la revendication 16, dans laquelle ladite solution de **paclitaxel** presente un pH de 5 a 7.

21. Procede selon l'une quelconque des revendications 16 a 20, comprenant l'etape consistant a mettre en suspension ledit **paclitaxel** dans le l'ethanol avant de melanger ledit **paclitaxel** avec la solution de vehicule.

PI EP 674510 B1 19980805

L31 ANSWER 4 OF 16 EUROPATFULL COPYRIGHT 1999 WILA

CLMEN 1. A stabilized composition comprising at least one pharmaceutical compound selected from the group consisting of **paclitaxel**, camptothecin and derivatives thereof; and

a solvent capable of dispersing or solubilizing said pharmaceutical compound, said solvent comprising an effective. . . agent having a carboxylate anion content sufficiently low to prevent catalyzed degradation of said pharmaceutical compound,

provided that compositions comprising **paclitaxel**, polyethoxylated castor oil and ethanol adjusted to a pH less than 8.1

by

addition of an acid other than HBr, . . .

2. A stabilized composition comprising at least one pharmaceutical compound selected from the group consisting of **paclitaxel**, camptothecin and derivatives thereof; and

a solvent capable of dispersing or solubilizing said pharmaceutical compound, said solvent comprising an effective. . .

6. The composition of any one of claims 1 to 5, wherein said solvent further comprises an **alcohol**, more specifically an

**alcohol** selected from the group consisting of ethanol and **polyethylene glycol**.

7. The composition of claim 6, wherein said solvent is a mixture of ethyl **alcohol** and said polyoxyethylated castor oil in a ratio of about 50:50 by volume.

CLMDE 1. Stabilisierte Zusammensetzung umfassend mindestens eine pharmazeutische Verbindung ausgewählt aus der Gruppe bestehend aus **Paclitaxel**, Camptothecin und Derivaten davon; und

ein Loesemittel, das faehig ist, die pharmazeutische Verbindung zu dispergieren oder zu solubilisieren, wobei das. . . Gehalt an Carboxylatanion, der ausreichend niedrig ist, dass ein katalysierter Abbau der pharmazeutischen Verbindung verhindert ist,

vorausgesetzt, dass Verbindungen, die **Paclitaxel**, polyethoxyliertes Castoroel und Ethanol enthalten, eingestellt auf

einen pH von weniger als 8,1 durch Zugabe einer anderen Saeure als HBr, . .

2. Stabilisierte Zusammensetzung umfassend mindestens eine pharmazeutische Verbindung ausgewählt aus der Gruppe bestehend aus **Paclitaxel**, Camptothecin und Derivaten davon; und

ein Loesemittel, das faehig ist, die pharmazeutische Verbindung zu dispergieren oder zu solubilisieren, wobei das. . .

CLMFR 1. Composition stabilisee comprenant au moins un compose pharmaceutique selectionne dans le groupe consistant en **paclitaxel**, camptothecine et leurs derives; et

un solvant capable de disperser ou de solubiliser ledit compose pharmaceutique, ledit solvant comprenant une. . . en anion carboxylate suffisamment faible pour empecher la degradation catalysee dudit compose pharmaceutique,

a condition que les compositions comprenant le **paclitaxel**, de l'huile de ricin polyethoxylee et de l'ethanol ajuste a un pH inferieur a 8,1 par addition d'un acide autre. . .

2. Composition stabilisee comprenant au moins un compose pharmaceutique selectionne dans le groupe consistant en **paclitaxel**, camptothecine et leurs derives; et

un solvant capable de disperser ou de solubiliser ledit compose pharmaceutique, ledit solvant comprenant une. . .

6. . . ou ledit solvant contient de plus un alcool, plus particulierement un alcool selectionne dans le groupe consistant en ethanol et **polyethylene glycol**.

PI EP 645145 B1 19970312

L31 ANSWER 5 OF 16 USPATFULL

CLM What is claimed is:

. . . 6. The composition according to claim 1 wherein the water-miscible solvent is selected from the group consisting of ethanol, propylene **glycol**, a **polyethylene glycol**, benzyl

**alcohol**, N,N-dimethyl acetamide, dimethyl isosorbide, dimethyl sulfoxide, glycerol, triacetin, glycerol formal and 1-methyl-2-pyrrolidinone and mixtures thereof.

. . . The composition according to claim 6 wherein the water-miscible solvent is selected from the group consisting of ethanol and propylene **glycol** and mixtures thereof.

8. The composition according to claim 7 wherein the water-miscible solvent is an ethanol/propylene **glycol** mixture.

- . . . a 23-oxo or 23-imino derivative of an LL-F28249.alpha.-.lambda., a milbemycin, an avermectin, vitamin A, vitamin D, vitamin E, vitamin K, **paclitaxel**, flufenoxuron, teflubenzuron, pyriproxyfen and levamisole and mixtures thereof.
- . . . about 5 to 15% w/v sucrose monolaurate, about 10 to 30% w/v ethanol, and about 60 to 80% w/v propylene **glycol**.
- . . . a disaccharide monoC.sub.8 -C.sub.18 fatty acid ester, and the water-miscible solvent is selected from the group consisting of ethanol, propylene **glycol**, a **polyethylene glycol**, benzyl **alcohol**, N,N-dimethyl acetamide, dimethyl isosorbide, dimethyl sulfoxide, glycerol, triacetin, glycerol formal and 1-methyl-2-pyrrolidinone and mixtures thereof.
- . . . and sucrose monostearate and mixtures thereof, and the water-miscible solvent is selected from the group consisting of ethanol and propylene **glycol** and mixtures thereof.
- . . . about 5 to 15% w/v sucrose monolaurate, about 10 to 30% w/v ethanol, and about 60 to 80% w/v propylene **glycol**.

PI US 5965603 19991012

L31 ANSWER 6 OF 16 USPATFULL

CLM What is claimed is:

11. The composition of claim 10, wherein said polyalkylene oxide comprises **polyethylene glycol**.

17. The composition of claim 1, wherein D is selected from the group consisting of **paclitaxel**, taxotere, camptothecin and podophyllotoxin.

18. The composition of claim 1, wherein D is a member of the group consisting of **paclitaxel** and taxotere and Y' is attached to the 2' position of said **paclitaxel**, taxane or taxotere residues.

33. The compound of claim 32, wherein said polyalkylene oxide comprises **polyethylene glycol**.

46. The compound of claim 45, wherein said polyalkylene oxide comprises **polyethylene glycol**.

PI US 5965566 19991012

L31 ANSWER 7 OF 16 USPATFULL

CLM What is claimed is:

5. A method of using the lcp-castor oil of claim 1 comprising dissolving

the lcp-castor oil of claim 1 in sufficient dehydrated **alcohol** and adding thereto a sufficient amount of **paclitaxel** to arrive at a formulation having per ml, about 527 mg of the lcp-castor oil of claim 1, about 6 mg of **paclitaxel**, and about 49.7 v/v % dehydrated **alcohol**.

. . . of not greater than about 5.0 measured when 527 mg of the neat lcp-castor oil is dissolved in sufficient dehydrated **alcohol** to make 1 ml of solution and the resultant solution is diluted with 13.29 times the weight of such solution. . .

13. The formulation of claim 10 having an active agent selected from diclofenac and **paclitaxel**.

14. The formulation of claim 13 wherein **paclitaxel** is the



active agent.

- . . . formulation of claim 14 comprising per ml of solution, about 527 mg of the lcp-castor oil, about 6 mg of **paclitaxel**, and about 49.7 v/v % dehydrated **alcohol**.
- . . . wherein said substantially water-free polyethoxylated castor oil has a water content of not greater than about 1% and said dehydrated **alcohol** has a water content of not greater than about 1%.
- . . . pH of not greater than about 5.0 when measured by diluting a portion of the polyethoxylated castor oil in dehydrated **alcohol** solution with 13.29 times (by weight) as much water.

27. The formulation of claim 26 wherein said carrier is dehydrated **alcohol** and said active agent is **paclitaxel**.

PI US 5925776 19990720

L31 ANSWER 8 OF 16 USPATFULL

CLM What is claimed is:

1. A pharmaceutical composition comprising: **paclitaxel**, acid, water, **alcohol**, a polyglycol ester of 12-hydroxystearic acid and **polyethylene glycol**, and one or more organic solvents.

4. The composition of claim 1 wherein the **alcohol** is selected from ethanol and benzyl **alcohol**.

5. The composition of claim 4 wherein the **alcohol** is ethanol.

8. A pharmaceutical composition comprising: **paclitaxel** in the range of about 0.3% to about 0.8% (w/w), anhydrous acid in the range of about 0.2% to about 0.5% (w/w), **alcohol** in the range of about 10% to about 50% (w/w), water in the range of about 0% to about 25% (w/w), a polyglycol ester of 12-hydroxystearic acid and **polyethylene glycol** in the range of about 40% to about 50% (w/w), and one or more organic solvents, wherein the total percent.

9. The composition of claim 8 comprising: about 0.5% to about 0.7% **paclitaxel**; about 0.25% to about 0.35% anhydrous citric acid; about 14% to about 16% dehydrated ethanol; about 8% to about 10% . . .

10. The composition of claim 9 comprising: 0.57% **paclitaxel**; 0.27% anhydrous citric acid; 15.1% dehydrated ethanol; 8.9% water;

47.3% Solutol; 9.1% triacetin; and 19.1% glycerol.

PI US 5922754 19990713

L31 ANSWER 9 OF 16 USPATFULL

CLM What is claimed is:

- . . . actinomycin, bleomycin, duanorubicin, doxorubicin, epirubicin, mitomycin, methotrexate, fluorouracil, carboplatin, carmustine (BCNU), methyl-CCNU, cisplatin, etoposide, interferon, camptothecin and derivatives thereof, phenesterine, **paclitaxel** and derivatives thereof, taxotere and derivatives thereof, vinblastine, vincristine, tamoxifen, etoposide or piposulfan.

. . . or aryl halides having 1-30 carbon atoms, optionally having more than

one halogen substituent, ketones having 3-30 carbon atoms, polyalkylene **glycol**, or combinations of any two or more thereof.

- . . . to claim 13 wherein said synthetic polymers are selected from synthetic polyamino acids containing cysteine residues and/or disulfide

groups; polyvinyl **alcohol** modified to contain free sulfhydryl groups and/or disulfide groups; polyhydroxyethyl methacrylate modified to contain free sulfhydryl groups and/or disulfide groups;. . .  
PI US 5916596 19990629

L31 ANSWER 10 OF 16 USPATFULL

CLM What is claimed is:

4. A liposome according to claim 1, wherein said hydrophilic polymer is selected from the group consisting of **polyethylene glycol**, polylactic acid, polyglycolic acid, copolymers of polylactic acid and polyglycolic acid, and polyvinyl **alcohol**.

6. A liposome according to claim 1, wherein said hydrophilic polymer is **polyethylene glycol** having a molecular weight of between about 300 daltons and about 10,000 daltons.

7. A liposome according to claim 1, wherein said hydrophilic polymer is **polyethylene glycol** having a molecular weight of between about 300 daltons and about 1,000 daltons.

8. A liposome according to claim 1, wherein said hydrophilic polymer is **polyethylene glycol** having a molecular weight of about 750 daltons.

. . . 1, wherein said active agent is selected from the group consisting of  
of doxorubicin, epirubicin, daunorubicin, vincristine, vinblastine, etoposide, ellipticine, camptothecin, **paclitaxel**, docetaxol, cisplatin, prednisone, methyl-prednisolone, and ibuprofen.

. . . bilayer membrane comprising vesicle-forming lipid and from about 1 mole percent to about 22 mole percent vesicle-forming lipid derivatized with **polyethylene glycol**, said active agent aggregated with lipid surfactant to form micelles, said micelles entrapped within the interior space of said liposome,. . .

15. A liposome according to claim 14, wherein said **polyethylene glycol** has a molecular weight of between about 300 daltons and about 10,000 daltons.

16. A liposome according to claim 14, wherein said **polyethylene glycol** has a molecular weight of about 750 daltons.

. . . 14, wherein said active agent is selected from the group consisting of doxorubicin, epirubicin, daunorubicin, vincristine, vinblastine, etoposide, ellipticine, camptothecin, **paclitaxel**, docetaxol, cisplatin, prednisone, methyl-prednisolone, camptothecin and ibuprofen.

. . . lipid bilayer membrane comprising a vesicle-forming lipid and from 1 mole percent to 22 mole percent vesicle-forming lipid derivatized with **polyethylene glycol**, said **polyethylene glycol** having a molecular weight of about 750 daltons, and containing a micellar preparation of **paclitaxel** entrapped within the interior liposomal space.

23. A method according to claim 22, wherein said hydrophilic polymer is selected from the group consisting of **polyethylene glycol**, polylactic acid, polyglycolic acid, copolymers of polylactic acid and polyglycolic acid, and polyvinyl **alcohol**.

25. A method according to claim 22, wherein said hydrophilic polymer is **polyethylene glycol** having a molecular weight of between about 300 daltons and about 10,000 daltons.

26. A method according to claim 22, wherein said hydrophilic polymer is **polyethylene glycol** having a molecular weight of

between about 300 daltons and about 1,000 daltons.

27. A method according to claim 22, wherein said hydrophilic polymer is **polyethylene glycol** having a molecular weight of about 750 daltons.

. . . 22, wherein said active agent is selected from the group consisting of doxorubicin, epirubicin, daunorubicin, vincristine, vinblastine, etoposide, ellipticine, camptothecin, **paclitaxel**, docetaxol, cisplatin, prednisone, methyl-prednisolone, and ibuprofen.

PI US 5882679 19990316

L31 ANSWER 11 OF 16 USPTAFULL

CLM What is claimed is:

1. A pharmaceutical composition for parenteral administration consisting

essentially of a taxane analog, dimethylacetamide, (DMA), **polyethylene glycol** (PEG) and an aqueous lipid emulsion.

2. The pharmaceutical composition of claim 1, wherein said taxane analog is **paclitaxel**.

7. A method of preparing a **paclitaxel** composition for intravascular administration comprising the steps of: dissolving **paclitaxel** in DMA at a concentration of up to about 100 mg/ml; adding **polyethylene glycol** (PEG) to the **paclitaxel** solution at a ratio of DMA:PEG of about 1:3 (v/v) to achieve a **paclitaxel** stock formulation with a concentration of up to about 25 mg/ml **paclitaxel**; and adding an aqueous lipid emulsion to achieve a **paclitaxel** concentration of from about 1 to about 5 mg/ml.

9. A method of treating a **paclitaxel** sensitive tumor comprising: obtaining a pharmaceutical composition consisting essentially of **paclitaxel** dissolved in dimethylacetamide (DMA) and **polyethylene glycol** (PEG) at a ratio of DMA:PEG of about 1:3 (v/v) and finally dissolved in an aqueous lipid emulsion to achieve a final **paclitaxel** concentration of about 1 to 5 mg/ml; and contacting said tumor with said pharmaceutical composition.

13. A **paclitaxel** stock formulation consisting essentially of **paclitaxel** and dimethylacetamide:**polyethylene glycol** in a v/v ratio of 1:3.

14. The **paclitaxel** stock formulation of claim 13, wherein said **polyethylene glycol** has a molecular weight of about 400.

PI US 5877205 19990302

L31 ANSWER 12 OF 16 USPTAFULL

CLM What is claimed is:

. . . of claim 1, wherein said hydrophilic region is selected from the group consisting of polyethers, polyalkylene oxides, polyols, poly(vinylpyrrolidone), poly(vinyl **alcohol**), poly(alkyl oxazolines), polysaccharides, carbohydrates, peptides, proteins and copolymers and mixtures thereof.

. . . compositions of claim 28, wherein said drug or bio-active agent is selected from the group of antibiotic agents consisting of **paclitaxel**, mechlorethamine, chlorambucil, cyclophosphamide,

melphalan, ifosfamide, methotrexate, 6-mercaptopurine, 5-fluorouracil, cytarabine, vinblastine, vincristine, etoposide, doxorubicin, daunomycin, bleomycin, mitomycin, carmustine, lomustine, cisplatin, interferon, . . .  
PI US 5854382 19981229

L31 ANSWER 13 OF 16 USPATFULL

CLM What is claimed is:

11. The composition of claim 10, wherein said polyalkylene oxide comprises **polyethylene glycol**.

17. The composition of claim 1, wherein D is selected from the group consisting of **paclitaxel**, taxotere, camptothecin and podophyllotoxin.

18. The composition of claim 1, wherein D is a member of the group consisting of **paclitaxel**, taxane and taxotere and Y' is attached to the 2' position of said **paclitaxel**, taxane or taxotere.

28. The composition of claim 23, wherein R.sub.2 comprises a **polyethylene glycol**.

30. The composition of claim 28, wherein said **polyethylene glycol** has a molecular weight of from about 20,000 to about 80,000.

PI US 5840900 19981124

L31 ANSWER 14 OF 16 USPATFULL

CLM What is claimed is:

1. A **paclitaxel** composition comprising: (a) **paclitaxel** at between about 1 mg/mL and about 5 mg/mL; (b) surfactant at between about 10% and about 50% (vol/vol); and. . .

6. The composition according to claim 1, wherein the solvent is dehydrated **alcohol** propylene **glycol** or **polyethylene glycol**.

7. The composition according to claim 6, wherein the dehydrated **alcohol** is ethanol and the concentration is between about 30% and about 85% in the concentrate prior to dilution for administration.

8. The composition according to claim 7, wherein the **alcohol** concentration is between about 60% and about 80%.

9. The composition according to claim 8, wherein the **alcohol** concentration is about 75%.

11. The composition according to claim 10, wherein the preservative is benzyl **alcohol**.

12. A **paclitaxel** composition that includes **paclitaxel** at between about 0.5 mg/ml and about 1.5 mg/ml, a solvent at between about 12% and about 80% (vol/vol), and. . .

16. The composition according to claim 12, wherein the solvent is dehydrated **alcohol** and the surfactant is a polyoxyethylated oil.

PI US 5681846 19971028

L31 ANSWER 15 OF 16 USPATFULL

CLM What is claimed is:

. . . selected from the group consisting of triglyceride fatty acids or fatty acid salts of at least 8 carbon atoms, cetyl/stearyl **alcohol**, wax esters, guar gum, methyl cellulose, hydroxypropyl

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